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Prepn. of stable liposome contg. an active agent - by dialysing liposome dispersion and freeze drying the dialysate

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Preparation of stable liposome containing an active agent comprises:

(1) preparing a solubilised micelle solution using phospholipid, or phospholipid and cholesterol as liposome membrane composition, followed by adding and dispersing the active agent in the solution;

(2) placing the solution in a dialysis apparatus and dialysing it against a flow of water;

(3) gel chromatographing the dialysed solution to separate the dispersion of liposome containing the active agent from the solution in which the active agent is absent; and

(4) freeze-drying the separated dispersion to give the objective liposome.

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USE/ADVANTAGE

The freeze-dried liposome is stable in its content of active agent when it is again suspended in a solution.

Therapeutic enzymes are subject to decomposition in the digestive tract by proteases and so the amount of such enzymes absorbed from the digestive tract is very small. However, enzymes, proteins or other active agents contained in liposomes prepd. by the present method may be effectively transferred to the diseased part since these active agents are protected by the liposome membrane.

EXAMPLE

To a 160 mM solution of NaCl, pH 7.2 (tris-HCl 1 mM), were added 17 mM soybean lecithin and 17 mM sodium cholic acid, and the mixt. was stirred overnight at room temp. to prepare solubilised micelle.

In 6 ml of the solution was dissolved 6g of ferritin, and the mixt. was placed inside an auto-dialyser and dialysed against a flowing stream of 160 mM NaCl, pH 7.2, for 24 hours at 25°C (2.2 ml/min). The dialysis inner solution was then taken out and gel-filtered by column chromatography to separate a suspension phase in which liposomes were formed from a phase in which the active agent (ferritin) is not present.

The suspension phase was extracted and rapidly freeze-dried to obtain the objective powder.

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